Bibliographic Information

Preparation of heteroaryl substituted tetrahydroquinolines as inhibitors of Eg5 proteins. Schiemann, Kai; Anzali, Soheila; Drosdat, Helga; Emde, Ulrich; Finsinger, Dirk; Gleitz, Johannes; Hock, Bjoern; Reubold, Helmut; Zenke, Frank. (Merck Patent G.m.b.H., Germany). PCT Int. Appl. (2005), 289 pp. CODEN: PIXXD2 WO 2005063735 A1 20050714 Designated States W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW. Designated States RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IS, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, ML, MR, NE, SN, TD, TG. Patent written in German. Application: WO 2004-EP14205 20041214. Priority: DE 2003-10360154 20031220; US 2004-539961 20040130; DE 2004-102004026026 20040527. CAN 143:133291 AN 2005:612277 CAPLUS

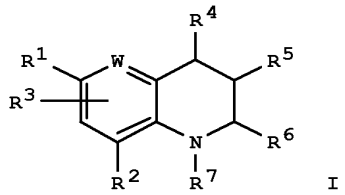
Patent Family Information

Patent No.	Kind	Date	Application No.	Date
WO 2005063735	A1	20050714	WO 2004-EP14205	20041214
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10360154	A1	20050721	DE 2003-10360154	20031220
DE 102004026026	A1	20051215	DE 2004-102004026026	20040527
AU 2004309028	A1	20050714	AU 2004-309028	20041214
CA 2550350	A1	20050714	CA 2004-2550350	20041214

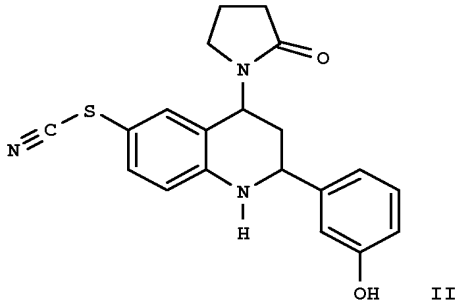
Priority Application		
DE 2003-10360154	A	20031220
US 2004-539961P	P	20040130
DE 2004-102004026026	A	20040527
WO 2004-EP14205	W	20041214

Abstract

Title compds. I [W = CH or N; R1, R2 and R3 independently = H, aryl, heteroaryl, etc.; R4 and R5 independently = H, S-aryl, O-aryl, etc. or together form a heterocyclic ring; R6 = (un)substituted aryl or heteroaryl; R7 = (CO)R, (CO)NR2, (CO)OR, etc.; R = H or A; A = (un)substituted alkyl or cycloalkyl] and their pharmaceutically acceptable salts, are prepd. and disclosed as inhibitors of Eg5 proteins. Thus, e.g., II was prepd. by coupling of 4-thiocyanatoaniline with 3-hydroxybenzaldehyde and 1-vinyl-2-pyrrolidinone. The inhibitory capability of I was evaluated in inhibition assays using Eg5-ATPase activity and it was revealed that selected compds. of the invention displayed enhanced inhibitory activity. I as inhibitors of Eg5 proteins should prove useful in the treatment of certain cancers, such as bladder, stomach and colon. Pharmaceutical compns. comprising I are disclosed.



I



II